

Translation

## PATENT COOPERATION TREATY

# PCT

### INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>PCT-0401</b>	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. <b>PCT/JP2004/007487</b>	International filing date (day/month/year) <b>31.05.2004</b>	Priority date (day/month/year) <b>12.06.2003</b>
International Patent Classification (IPC) or national classification and IPC		
<p>Applicant <b>KINKI UNIVERSITY</b></p>		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <b>6</b> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p>	<p>a. <input type="checkbox"/> (<i>sent to the applicant and to the International Bureau</i>) a total of _____ sheets, as follows:</p>	<p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p>	<p>b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (indicate type and number of electronic carrier(s)) _____ , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>
<p>4. This report contains indications relating to the following items:</p>	<p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>		

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/007487

Box No. I	Basis of the report
<p>1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.</p> <p><input type="checkbox"/> This report is based on translations from the original language into the following which is the language of a translation furnished for the purposes of:</p> <ul style="list-style-type: none"> <li><input type="checkbox"/> international search (Rule 12.3 and 23.1(b))</li> <li><input type="checkbox"/> publication of the international application (Rule 12.4)</li> <li><input type="checkbox"/> international preliminary examination (Rule 55.2 and/or 55.3)</li> </ul> <p>2. With regard to the elements of the international application, this report is based on (<i>replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report</i>):</p> <p><input checked="" type="checkbox"/> the international application as originally filed/furnished</p> <p><input type="checkbox"/> the description: pages _____ as originally filed/furnished pages* _____ received by this Authority on _____ pages* _____ received by this Authority on _____</p> <p><input type="checkbox"/> the claims: nos. _____ as originally filed/furnished nos.* _____ as amended (together with any statement) under Article 19 nos.* _____ received by this Authority on _____ nos.* _____ received by this Authority on _____</p> <p><input type="checkbox"/> the drawings: sheets _____ as originally filed/furnished sheets* _____ received by this Authority on _____ sheets* _____ received by this Authority on _____</p> <p><input type="checkbox"/> a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.</p> <p>3. <input type="checkbox"/> The amendments have resulted in the cancellation of:</p> <ul style="list-style-type: none"> <li><input type="checkbox"/> the description, pages _____</li> <li><input type="checkbox"/> the claims, nos. _____</li> <li><input type="checkbox"/> the drawings, sheets/figs _____</li> <li><input type="checkbox"/> the sequence listing (<i>specify</i>): _____</li> <li><input type="checkbox"/> any table(s) related to sequence listing (<i>specify</i>): _____</li> </ul> <p>4. <input type="checkbox"/> This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).</p> <ul style="list-style-type: none"> <li><input type="checkbox"/> the description, pages _____</li> <li><input type="checkbox"/> the claims, nos. _____</li> <li><input type="checkbox"/> the drawings, sheets/figs _____</li> <li><input type="checkbox"/> the sequence listing (<i>specify</i>): _____</li> <li><input type="checkbox"/> any table(s) related to sequence listing (<i>specify</i>): _____</li> </ul>	

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

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PCT/JP2004/007487

Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
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## 1. Statement

Novelty (N)	Claims	<u>1-20</u>	YES
	Claims	_____	NO
Inventive step (IS)	Claims	_____	YES
	Claims	<u>1-20</u>	NO
Industrial applicability (IA)	Claims	<u>1-20</u>	YES
	Claims	_____	NO

## 2. Citations and explanations (Rule 70.7)

The written opinion was formed based on the following documents cited in the international search report:

Document 1: WO 01/49674 A2 (Simon Fraser University)

Document 2: JP 54-106477 A (Nippon Shinyaku Co., Ltd.)

Document 3: JP 3-127797 A (Merrell Dow Pharmaceuticals Inc.)

Document 4: JP 2-25498 A (Merrell Dow Pharmaceuticals Inc.)

Document 5: JP 2002-104979 A (Nippon Kefia Kabushiki Kaisha)

Document 6: JP 2001-103928 A (Fancl Corporation)

Document 7: Osami Kajimoto et al., Journal of Japanese Society of Nutrition, and Food Science, 2000, 53 (5), pages 199 to 205

Claims 1 to 20

Document 1 (claims and embodiments) indicates that a salacinol derivative exhibits glucosidase inhibiting activity, and sets forth a compound effective in the treatment of diabetes. Here, comparing the invention set forth in claims 1 to 20 and the invention set forth in document 1, the former comprises cations and corresponding anions, while the latter forms cyclic

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sulfate ester, which constitutes a difference.

However, document 2 indicates that a compound having a chemical structure which bears a close resemblance to that set forth in document 1 is active against diabetes, and although cyclic sulfate ester is not contained in the chemical structure, document 2 indicates that the compound may comprise toluene sulfonate. In addition, documents 3 and 4, which set forth compounds having a similar physiological activity and a structure similar to the compounds in documents 1 and 2, indicate that a variety of salts such as hydrochloride may be used as an acid addition salt similar to toluene sulfonate. It would therefore not require any particular creativity on the part of a person skilled in the art to attempt to constitute the invention set forth in claims 1 to 20 by employing toluene sulfonate instead of the cyclic sulfate ester as set forth in document 2, or have an acid addition salt such as that set forth in documents 2 to 4 serve as an ingredient, in order to facilitate synthesis while further improving antidiabetic activity in the invention set forth in document 1.

In addition, with regard to the antidiabetic food set forth in claims 15 to 20, it is a widely known feature among persons skilled in the art to have an ingredient with antidiabetic activity contained in a food to constitute an antidiabetic food, as described in documents 5 to 7, therefore it would be easy for a person skilled in the art to accomplish this feature.

However, in the response to the written opinion submitted by the applicant on 17 January 2005, the applicant asserts that the target compound set forth in

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document 1 comprises a structure having sulfate ester without exception, therefore even if documents 2 to 4 mention acid addition salt, there is no motivation to have the compound set forth in document 1 not contain sulfate anions, and it is obvious that by adopting a structure such as that of the invention of this application the sulfate ester part is no longer essential to the expression of glucosidase inhibiting activity, and the substance can be synthesized cheaply, therefore the invention of the present application has a special effect.

However, although document 1 does not mention a toluene sulfonate, said document does not actively prohibit or deny the adoption of such a structure, therefore it is impossible to state that there is no motivation, based merely on the fact that there is no mention. In addition, with regard to glucosidase inhibiting activity, the applicant has not clearly stated in the description of this application that the compound of the invention of this application shows a marked activity compared to compounds disclosed in these documents, therefore this part of the description cannot be taken into account. Moreover, with regard to the simplicity of synthesis, the technique of synthesizing an acid addition salt would be widely known to a person skilled in the art, as described in documents 2 to 4, and a person skilled in the art would be aware that the use of this technique would enable more simple synthesis than the synthesis methods used in the past.

Therefore the inventions set forth in claims 1 to 20 do not involve an inventive step in the light of

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documents 1 to 7.